

10/648,451

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 10:08:48 ON 05 APR 2005

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FULL SEARCH INITIATED 10:11:40 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 12950 TO ITERATE

100.0% PROCESSED 12950 ITERATIONS

115 ANSWERS

SEARCH TIME: 00.00.01

L3 115 SEA SSS FUL L1

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Ref  
10/609941

935-2368

3/2

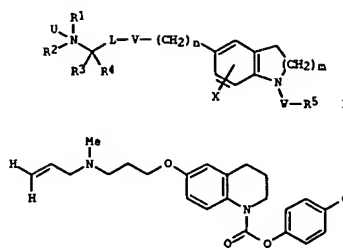
10/648,451

L4 ANSWER 1 OF 2 CA COPYRIGHT 2005 ACS on STN  
 137:63179 CA  
 ACCESSION NUMBER: 137:63179  
 TITLE: Preparation of dihydroindole and tetrahydroquinoline derivatives as inhibitors of 2,3-oxidosqualene-lanosterol cyclase  
 INVENTOR(S): Aebi, Johannes; Ackermann, Jean; Chucholowski, Alexander; Dehmlow, Henrietta; Morand, Olivier; Wallbaum, Sabine; Weller, Thomas; Panday, Narendra  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.  
 SOURCE: PCT Int. Appl., 101 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050041	A1	20020627	WO 2001-EP14620	20011212
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003004156	A1	20030102	US 2001-14959	20011211
US 6706751	B2	20040316		
CA 2431100	AA	20020627	CA 2001-2431100	20011212
AU 2002019176	A5	20020701	AU 2002-19176	20011212
EP 1358162	A1	20031105	EP 2001-271362	20011212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016263	A	20031230	BR 2001-16263	20011212
JP 2004519441	T2	20040702	JP 2002-551538	20011212
ZA 2003004646	A	20040913	ZA 2003-4646	20030613
US 2005020624	A1	20050127	US 2003-648451	20030826
PRIORITY APPLN. INFO.:			EP 2000-128063	A 20001221
			US 2001-14959	A3 20011211
			WO 2001-EP14620	W 20011212

OTHER SOURCE(S): MARPAT 137:63179  
 GI

L4 ANSWER 1 OF 2 CA COPYRIGHT 2005 ACS on STN (Continued)

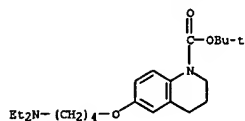


AB Title compds. I [U = O or lone pair; V = O, S, NR6, or CH2, and L = alkylene or alkenylene or V = -CH=CH- or -C.tplbond.C-, and L = alkylene or single bond; W = CO, CO2, CONR7, CSO, CSNR7, SO2, or SO2NR7; X = H or one or more optional halogen and/or alkyl substituents; m = 1-2; n = 0-7; R1 = H, (un)substituted alkyl or alkenyl; R2 = (un)substituted cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3 and R4 independently = H or alkyl or R1 and R2 or R1 and R3 are bonded to each other to form a ring and R1R2 or R1R3 are alkylene or alkenylene optionally substituted by R8, in which one -CH2- group of R1R2 or R1R3 can optionally be replaced by NR9, S, or O; R5 = (un)substituted cycloalkyl, cycloalkylalkyl, heterocycloalkyl, aryl alkylalkyl, etc.; R8 = OH, alkoxy, thioalkoxy, NR10R11, or (un)substituted alkyl; R6, R7, R9, R10, R11 independently = H or alkyl], and their pharmaceutically acceptable salts and/or pharmaceutically acceptable esters thereof are prepared and disclosed as agents for treatment of diseases associated with

2,3-oxidosqualene-lanosterol cyclase (OSC). Thus, II was prepared from 1,2,3,4-tetrahydroquinolin-6-ol via condensation with 4-chlorophenyl chloroformate, O-alkylation with 1,3-dibromopropane and substitution with N-allylmethylamine. The preferred compds. of the present invention exhibit IC50 values of 1 nM to 10 µM, preferably of 1-100 nM. OSC related diseases/disorders for which I are useful for treatment and/or prophylaxis of include, but are not limited to, hypercholesterolemia, hyperlipemia, arteriosclerosis, vascular diseases, mycosis, gallstones, tumors and/or hyperproliferative disorders, and treatment and/or prophylaxis of impaired glucose tolerance and diabetes.

IT 439226-64-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of dihydroindole and tetrahydroquinoline derivs. as inhibitors of 2,3-oxidosqualene-lanosterol cyclase)  
 RN 439226-64-9 CA  
 CN 1(2H)-Quinolinecarboxylic acid, 6-[4-(diethylamino)butoxy]-3,4-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CA COPYRIGHT 2005 ACS on STN (Continued)

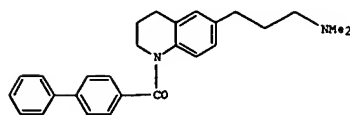
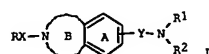


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 136:5926 CA  
 TITLE: Preparation of benzoaromatic derivatives as melanin concentrating hormone antagonists  
 INVENTOR(S): Ishihara, Yuji; Terauchi, Jun; Suzuki, Nobuhiro; Takekawa, Shiro; Aso, Kazuyoshi  
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan  
 SOURCE: PCT Int. Appl., 285 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087834	A1	20011122	WO 2001-JP4015	20010515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408913	AA	20011122	CA 2001-2408913	20010515
JP 2002371059	A2	20021226	JP 2001-145691	20010515
EP 1283199	A1	20030212	EP 2001-930132	20010515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR				
US 2003159177	A1	20030821	US 2002-276288	20021112
PRIORITY APPLN. INFO.:			JP 2000-148647	A 20000516
			JP 2001-116219	A 20010413
			WO 2001-JP4015	W 20010515

OTHER SOURCE(S): MARPAT 136:5926  
 GI



II

10/648,451

L4 ANSWER 2 OF 2 CA COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. [1: R = H, halo, cyclic; X = bond, spacer containing a chain with one to six atoms; Y = spacer with one to six atoms; A = benzene; B = 5-9 membered nitrogen containing nonarom. heterocycle; R1 = H, hydrocarbon, heterocycle; R2 = H, hydrocarbon, heterocycle; R1R2 = nitrogen containing heterocycle; YR2 = nitrogenous heterocycle], melanin-concentrating hormone antagonist, which contains a compound represented by the formula or a salt thereof are prepared useful as prevention or remedy for adiposity, diabetes, or high blood pressure. Thus, the title compound II was prepared and biol. tested.

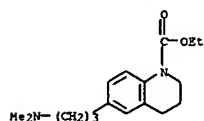
IT 374812-99-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzoarom. derivs. as melanin concentrating hormone antagonists)

RN 374812-99-4 CA

CN 1 (2H)-Quinolincarboxylic acid, 6-[3-(dimethylamino)propyl]-3,4-dihydro-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT:

531 THERE ARE 531 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/648,451

=> file reg

=> s 15 full

FULL SEARCH INITIATED 10:17:19 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 293001 TO ITERATE

100.0% PROCESSED 293001 ITERATIONS

230 ANSWERS

SEARCH TIME: 00.00.09

L7 230 SEA SSS FUL L5

=> file ca

=> s 17

L8 11 L7

=> s 18 not 14

L9 9 L8 NOT L4

=> d ibib abs fhitr 1-9

10/648,451

L9 ANSWER 1 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 141:366251 CA  
 TITLE: Preparation of piperazine derivatives as renin inhibitors  
 INVENTOR(S): Cai, Guimann Clay, Emma Hazel; Downing, Dennis Michael; Edmunds, Jeremy John; Holsworth, Daniel Dale; Li, Tingsheng; Powell, Noel Aaron  
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA  
 SOURCE: PCT Int. Appl., 168 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089915	A1	20041021	WO 2004-181211	20040401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004214832	A1	20041028	US 2004-811134	20040326
PRIORITY APPL. INFO.:			US 2003-461931P	P 20030410
			US 2004-542306P	P 20040209
OTHER SOURCE(S):	MARPAT 141:366251			
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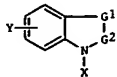
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1, R2 = independently H, (un)substituted alkyl; R3 = H, oxo, thioxo; R4 = H, (un)substituted alkyl provided that when R3 = oxo or thioxo, R4 is absent; R5, R6, R7 = independently H, halo, CO2H, (un)substituted alkyl; Q = -(CH2)1-6-A; (CH2)0-6; A = C(=O)O, OC(=O), C(=O), NH and derivs., NHSO2 and derivs., (CH2)-alkylene, wherein 1 to 3 nonadjacent CH2 units of the alkylene group are replaced by, O, NH and derivs., S, or a combination thereof, etc.; T = (un)substituted hetero/aryl, alkyl; W = absent, (un)substituted hetero/aryl; Z = -(CH2)0-6-B-(CH2)0-6- wherein 0 to 6 nonadjacent CH2 units are replaced with O, S, NH and derivs. or a combination thereof; B = hetero/cycloalkylene, hetero/arylene, CONH and derivs., NHCO and derivs., etc.; and their pharmaceutically acceptable salts] were prepared as renin inhibitors. For example, (+)-II was prepared in 3 steps from 4-bromophenol, 1-(3-iodopropoxymethyl)-2-methoxybenzene (preparation given) and piperazine (preparation given). (+)-II displayed an IC50 value of 0.153 µM for the inhibition of the activity of human recombinant renin in a fluorescence

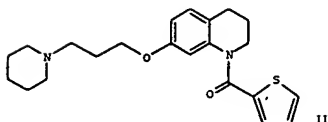
III

L9 ANSWER 2 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 140:287281 CA  
 TITLE: Preparation of substituted quinoline derivatives as histamine H3 receptor antagonists  
 INVENTOR(S): Beavers, Lisa Selma; Finley, Don Richard; Gadski, Robert Alan; Hipskind, Philip Arthur; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Stevens, Freddie Craig  
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
 SOURCE: PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026837	A2	20040401	WO 2003-US25860	20030912
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPL. INFO.:			US 2002-411625P	P 20020918
OTHER SOURCE(S):	MARPAT 140:287281			
GI				



I

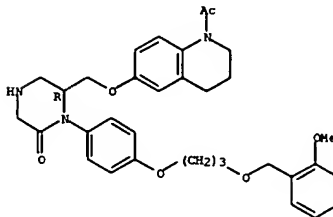


II

AB Title compds. I [G1 = CH2, CH2CH2; G2 = CH2, CO or G1-2 taken together combine to form CH:CH, CH2-CH:CH; Y = OCH2CH2N-piperidinyl,

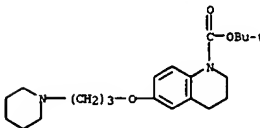
L9 ANSWER 1 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)  
 assay. I are useful for treating hypertension, congestive heart failure, glaucoma, etc.  
 IT 777933-63-8F, (6R)-6-[[[(1-Acetyl-1,2,3,4-tetrahydroquinolin-6-yl)oxy]methyl]-1-[4-[3-[(2-methoxybenzyl)oxy]propoxy]phenyl]piperazin-2-one  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 [renin inhibitor; preparation of piperazines as renin inhibitors for treating hypertension and congestive heart failure]  
 RN 777933-63-8 CA  
 CN Quinoline, 1-acetyl-1,2,3,4-tetrahydro-6-[[[(2R)-1-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-6-oxo-2-piperazinyl]methoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

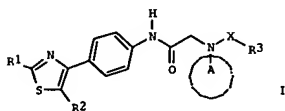
L9 ANSWER 2 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)  
 OCH2CH2CH2N-piperidinyl, etc.; X = H, acyl, alkyl, etc.] are prepd. For instance, 7-[3-(piperidin-1-yl)propoxy]-1,2,3,4-tetrahydroquinoline (prepn. given) is reacted with 2-thiophene carbonyl chloride (CH2Cl2, NEt3) to give II. II had Ki = 12.6 nM for the histamine H3 receptor. I are useful for the treatment of obesity.  
 IT 676254-99-2P, 6-[3-(Piperidin-1-yl)propoxy]-3,4-dihydro-2H-quinoline-1-carboxylic acid tert-butyl ester  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 [preparation of substituted quinoline derivs. as histamine H3 receptor antagonists]  
 RN 676254-99-2 CA  
 CN 1(2H)-Quinolinecarboxylic acid, 3,4-dihydro-6-[3-(1-piperidinyl)propoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



10/648,451

L9 ANSWER 3 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 136:386109 CA  
 TITLE: Preparation of amide derivatives as antiherpes agents  
 INVENTOR(S): Koutani, Toru; Miyata, Junji; Hamaguchi, Wataru; Miyazaki, Yoji; Suzuki, Hiroshi; Nakai, Eiichi; Kageyama, Shunji  
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Rational Drug Design Laboratories  
 SOURCE: PCT Int. Appl., 71 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038554	A1	20020516	WO 2001-JP9790	20011108
V: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2428184	AA	20020516	CA 2001-2428184	20011108
AU 2002012734	A5	20020521	AU 2002-12734	20011108
EP 1340750	A1	20030903	EP 2001-981033	20011108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004034232	A1	20040219	US 2003-416371	20030512
PRIORITY APPLN. INFO.:			JP 2000-344354	A 20001110
OTHER SOURCE(S):			WO 2001-JP9790	W 20011108
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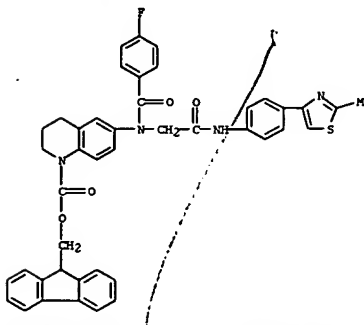


AB The title compds. I [R1, R2 = H, alkyl, etc.; ring A = (un)substituted aryl, etc.; X = CO, SO2] R3 = (un)substituted cycloalkyl, etc.] are prepared. These amide derivs. are useful as drugs and antiviral agents, in particular, preventives or remedies for various diseases caused by the infection with herpesviruses, more specifically, various herpesvirus

L9 ANSWER 4 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 134:193347 CA  
 TITLE: Preparation of indol-1-yl(or quinolin-1-yl)methyl benzoic acids as peroxisome proliferator activated receptor (PPAR) agonists  
 INVENTOR(S): Hargreaves, Rodney Brian; Whittamore, Paul Robert Owen  
 PATENT ASSIGNEE(S): AstraZeneca AB, Sweden; AstraZeneca UK Limited  
 SOURCE: PCT Int. Appl., 78 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

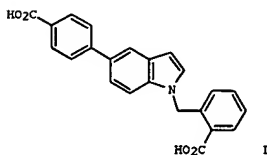
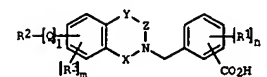
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012187	A2	20010222	WO 2000-GB3140	20000814
WO 2001012187	A3	20010607		
V: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2380775	AA	20010222	CA 2000-2380775	20000814
BR 2000013368	A	20020507	BR 2000-13368	20000814
EP 1210343	A2	20020605	EP 2000-953320	20000814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507327	T2	20030225	JP 2001-516533	20000814
NZ 517059	A	20040528	NZ 2000-517059	20000814
ZA 2002000669	A	20030424	ZA 2002-669	20020124
NO 2002000765	A	20020417	NO 2002-765	20020215
PRIORITY APPLN. INFO.:			GB 1999-19411	A 19990818
OTHER SOURCE(S):			WO 2000-GB3140	W 20000814
GI				

L9 ANSWER 3 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)  
 infections such as pox (blister) caused by the infection with varicella zoster virus, herpes zoster caused by the recurrent infection with latent varicella zoster virus, herpes labialis and herpes encephalitis caused by the infection with HSV-1 and genital herpes caused by the infection with HSV-2. N-((4-(2-Aminothiazol-4-yl)phenyl)carbamoyl)methyl)-4-fluoro-N-(2,3-dihydro-1H-indol-6-yl)benzamide dihydrochloride showed EC50 value of 0.046  $\mu$ M against varicella zoster virus, vs. EC50 value of 4.3  $\mu$ M shown by acyclovir.  
 IT 425690-01-3F  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of amide derivs. as antiherpes agents)  
 RN 425690-01-3 CA  
 CN 1 (2H)-Quinolinecarboxylic acid, 6-((4-fluorobenzoyl)[2-((4-(2-methyl-4-thiazolyl)phenyl)amino)-2-oxoethyl]amino)-3,4-dihydro-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



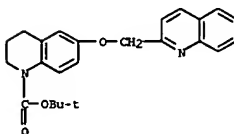
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)



AB The title compds. [I; X, Y, Z = a bond, atom or groups of atoms such that X, Y and Z together with the nitrogen atom = 5-6 membered (non)aromatic ring;  
 R1 = alkyl, halo, haloalkyl, etc.; n = 0-2; R2 = (un)substituted hydrocarbyl, halo, CN, etc.; l = 0-1; Q = a bond, alkylene, alkenylene; R3 = alkyl, halo, haloalkyl, etc.; m = 0-2] which act as peroxisome proliferator activated receptor (PPAR) agonists, in particular gamma receptors (PPAR $\gamma$ ) (data given), and so are useful in the treatment of states of insulin resistance, including type 2 diabetes mellitus, were prepared e.g., a multi-step synthesis of II was given.

IT 327044-57-5F  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of indol-1-yl(or quinolin-1-yl)methyl benzoic acids as peroxisome proliferator activated receptor (PPAR) agonists)  
 RN 327044-57-5 CA  
 CN 1 (2H)-Quinolinecarboxylic acid, 3,4-dihydro-6-(2-quinolinsylmethoxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 5 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 130:110166 CA  
 TITLE: Preparation of amidinophenylpropionyltetrahydroquinolines and related compounds as antithrombotics.  
 INVENTOR(S): Heckel, Armin; Soyka, Rainer; Grell, Wolfgang; Haaksma, Eric; Binder, Klaus; Zimmermann, Rainer  
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany  
 SOURCE: Ger. Offen., 50 pp.  
 CODEN: GWKXEX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19727117	A1	19990107	DE 1997-19727117	19970626
CA 2288744	AA	19990107	CA 1998-2288744	19980622
WO 9900371	A1	19990107	WO 1998-EP3800	19980622

V: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GR, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

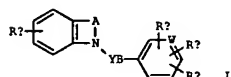
AU 9887279 A1 19990119 AU 1998-87279 19980622  
 EP 991624 A1 20000412 EP 1998-938621 19980622  
 EP 991624 B1 20031119

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2002511088 T2 20020409 JP 1999-505265 19980622  
 AT 254602 E 20031215 AT 1998-938621 19980622  
 MX 9911261 A 20000630 MX 1999-11261 19991206  
 US 6306342 B1 20011009 US 1999-457961 19991209

PRIORITY APPL. INFO.: DE 1997-19727117 A 19970626  
 WO 1998-EP3800 W 19980622

OTHER SOURCE(S): MARPAT 130:110166  
 GI

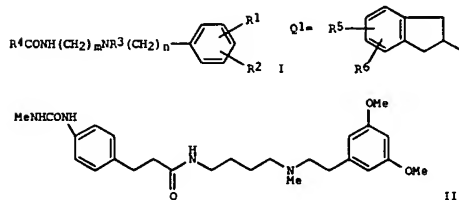


AB Title compds. [I; R<sub>1</sub> = H, NO<sub>2</sub>, amino, aminocarbonyl; R<sub>2</sub> = cyano, aminomethyl, (substituted) amidino; R<sub>3</sub>, R<sub>4</sub> = H, F, Cl, Br, iodo, Me, MeO, NO<sub>2</sub>, amino; A = (substituted) ethylene, ethenylene, propylene, etc.; B = bond, (substituted) methylene, ethylene, ethenylene, propylene, etc.; V = N, CH; Y = CH<sub>2</sub>, CO, CS], were prepared. Thus, 1-[3-(4-amidinophenyl)propionyl]-1,2,3,4-tetrahydroquinoline-6-carboxylic acid methyl-N-phenylamide (preparation given) had a thrombin time ED200 = 0.02

L9 ANSWER 6 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 126:46979 CA  
 TITLE: Preparation of aralkylaminoalkylamides as antipsychotics  
 INVENTOR(S): Senaga, Masahiro; Ozaki, Fumihiko; Fujisaki, Hideaki; Aoki, Mika; Kagaya, Yoshiki; Kuroki, Atsushi  
 PATENT ASSIGNEE(S): Eisai Co Ltd, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08283219	A2	19961029	JP 1995-82689	19950407
			JP 1995-82689	19950407

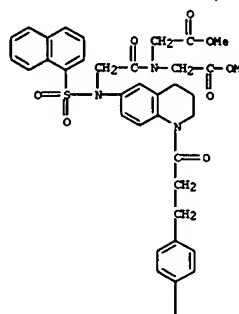
PRIORITY APPL. INFO.:  
 OTHER SOURCE(S): MARPAT 126:46979  
 GI



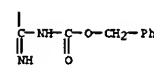
AB The title compds. I [R<sub>1</sub>, R<sub>2</sub> = alkyl, etc.; or R<sub>1</sub>R<sub>2</sub> = ring; R<sub>3</sub> = H, alkyl; R<sub>4</sub> = Q1, etc.; R<sub>5</sub>, R<sub>6</sub> = H, halo, etc.; n = 1 - 3; m = 2 - 4] are prepared. In an vitro test for affinity for the dopamine D<sub>2</sub> receptors, the title compound II showed K<sub>i</sub> value of 23.226 μM. In an vitro test for affinity for the dopamine D<sub>3</sub> receptors, the title compound II showed K<sub>i</sub> value 0.117 μM.

IT 184712-56-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aralkylaminoalkylamides as antipsychotics)  
 RN 184712-56-9 CA  
 CN 1(ZH)-Quinolincarboxamide, 6-[4-[[[2-(3,5-dimethoxyphenyl)ethyl]methylamino]butyl]amino]-4-oxo-1-butenyl]-3,4-dihydro-N-methyl- (9CI) (CA INDEX NAME)

L9 ANSWER 5 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)  
 μM.  
 IT 219642-91-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amidinophenylpropionyltetrahydroquinolines and related compds. as antithrombotics)  
 RN 219642-91-8 CA  
 CN Glycine, N-(1-naphthalenylsulfonyl)-N-[1,2,3,4-tetrahydro-1-[3-(4-[[[2-(3,5-dimethoxyphenyl)ethyl]methylamino]butyl]amino]-4-oxo-1-butenyl]-6-quinolinyl]glycyl-N-(2-methoxy-2-oxoethyl)-, methyl ester (9CI) (CA INDEX NAME)

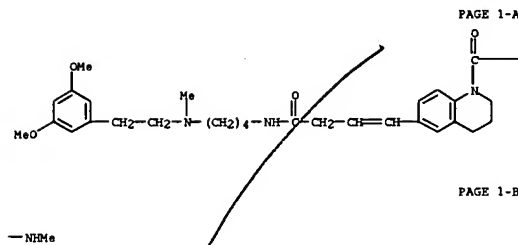


PAGE 1-A



PAGE 2-A

L9 ANSWER 6 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)



PAGE 1-B

PAGE 1-B

L9 ANSWER 7 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 125:142578 CA  
 TITLE: Pyridopyrimidones, quinolines and fused N-heterocycles as bradykinin antagonists.  
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshio; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 263 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9613485	A1	19960509	WO 1995-JP2192	19951025
W: AU, CA, CH, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2203659	AA	19960509	CA 1995-2203659	19951025
AU 9537536	A1	19960523	AU 1995-37536	19951025
AU 705883	B2	19990603		
EP 807105	A1	19971119	EP 1995-935563	19951025
EP 807105	B1	20040616		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, PT, IE				
CN 1168667	A	19971224	CN 1995-196602	19951025
JP 10507764	T2	19980728	JP 1995-514166	19951025
AT 269310	E	20040715	AT 1995-935563	19951025
ES 2218554	T3	20041116	ES 1995-935563	19951025
US 5994368	A	19991130	US 1997-809416	19970425
PRIORITY APPLN. INFO.:			GB 1994-21684	A 19941027
			GB 1995-12339	A 19950616
			WO 1995-JP2192	W 19951025

OTHER SOURCE(S): MARPAT 125:142578  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to title compds. 1 [Z = group Q1 or Q2; X1 = N or CR1; X2 = N or CR9; X3 = N or CR2; R1 = alkyl; R2 = H, (un)substituted alkyl, alkoxy, halo, aryl, amino, etc.; R3 = H, alkyl, alkoxy, halo; R4 = alkyl, alkoxy, halo; R5 = OH, nitro, (un)substituted alkoxy, substituted piperazinyl, NR6R7; R6 = H, alkyl; R7 = H, alkoxycarbonyl, (un)substituted aryl, carbamoyl, -(AA)CO2R8, -(AA)R10; R8 = (un)substituted arylthio, arylalkoxy, arylamino, heterocyclylthio, heterocyclylamino, etc.; R9 = H, alkyl; R10 = H, acylbiphenyl; A = alkylene; (AA) = amino acid; Y = O, NR11; R11 = H, N-protective group], and pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns., and therapeutic use in the prevention and/or the treatment of bradykinin-mediated diseases. Such diseases include allergy, inflammation, autoimmune disease, shock, and pain. For instance, amidation of 8-[3-(N-glycyl-N-methylamino)-2,6-dichlorobenzoyloxy]-2-methylquinoline with (E)-3-[6-(ethoxycarbonyl)-3-pyridyl]acrylic acid

L9 ANSWER 8 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 125:33636 CA  
 TITLE: Preparation of benzimidazoles and analogs as bradykinin antagonists.  
 INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshio; Sawada, Yuki; Inoue, Takayuki; Tanaka, Hirokazu  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 220 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9604251	A1	19960215	WO 1995-JP1478	19950725
W: AU, CA, CH, HU, JP, KR, MX, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9529915	A1	19960304	AU 1995-29915	19950725
EP 774462	A1	19970521	EP 1995-926025	19950725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6083961	A	20000704	US 1997-776518	19970203
US 6194396	B1	20010227	US 1999-425207	19991022
PRIORITY APPLN. INFO.:			JP 1994-182541	A 19940803
			JP 1995-57427	A 19950316
			WO 1995-JP1478	W 19950725

OTHER SOURCE(S): MARPAT 125:33636  
 GI For diagram(s), see printed CA Issue.

AB The title compds. 1 [Q = Q1, etc.; X represents O, S or NR5; R1 represents lower alkyl, etc.; R5 represents hydrogen, lower alkyl, etc.; R2 represents hydrogen, halogen, lower alkyl, etc.; R3 represents halogen, lower alkyl, etc.; R4 represents amino which may appropriately be substituted; and A represents lower alkylene] are prepared 4-[2,6-dichloro-3-[N-methyl-N-(4-(methylcarbamoyl)cinnamoylglycyl)amino]benzoyloxy]-1,2-dimethyl-1H-benzimidazole (preparation given) in vitro at 1 x 10<sup>-5</sup> M gave 99% inhibition of

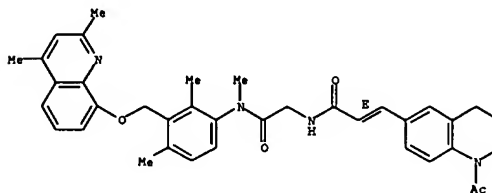
3H-bradykinin binding to homogenized guinea pig ileum membranes.

IT 177477-35-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzimidazoles and analogs as bradykinin antagonists)  
 RN 177477-35-9 CA  
 CN 2-Propenamide, 3-(1-acetyl-1,2,3,4-tetrahydro-6-quinolinyl)-N-[2-[[2,4-dichloro-3-[[2-methoxy-1-methyl-1H-benzimidazol-4-yl]oxy]methyl]phenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

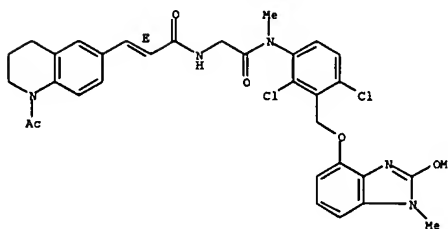
Double bond geometry as shown.

L9 ANSWER 7 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)  
 [prepn. given] using EDC and HOBt in DMF gave title compd. 11. The similarly prepd. title compd. 111.HCl gave 100% inhibition of [3H]-bradykinin binding to rat ileum receptors in vitro at 10<sup>-6</sup> M.  
 IT 179623-25-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyridopyrimidones, quinolines, and fused N-heterocycles as bradykinin antagonists)  
 RN 179623-25-7 CA  
 CN 2-Propenamide, 3-(1-acetyl-1,2,3,4-tetrahydro-6-quinolinyl)-N-[2-[[3-[[2,4-dimethyl-8-quinolinyl]oxy]methyl]-2,4-dimethylphenyl]methylamino]-2-oxoethyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L9 ANSWER 8 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)

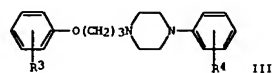
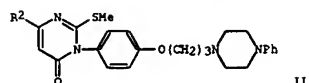
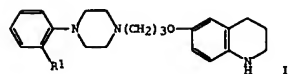
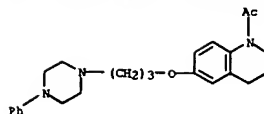




10/648,451

L9 ANSWER 9 OF 9 CA COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 110:114789 CA  
 TITLE: Synthesis and structure-activity relationship in  
 1-aryloxy-3-[N1-(N4-arylpiperazinyl)]propanes  
 AUTHOR(S): Agarwal, Shiv K.; Saxena, Anil K.; Jain, Padam C.;  
 Sur, R. N.; Srimal, Rikhab C.; Dhawan, Bholu N.;  
 Anand, Nitya  
 CORPORATE SOURCE: Div. Med. Chem. Pharmacol., Cent. Drug Res. Inst.,  
 Lucknow, 226 001, India  
 SOURCE: Indian Journal of Chemistry, Section B: Organic  
 Chemistry Including Medicinal Chemistry (1987),  
 26B(7), 642-6  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 110:114789  
 GI

L9 ANSWER 9 OF 9 CA COPYRIGHT 2005 ACS on STN (Continued)



AB Title comps. I (R1 = H, OMe), II (R2 = H, CO2Me), and III (R3 = 3-,  
 4-CH2OH; R4 = H, 4-OMe, 4-Cl, 3-CP3; R3 = 3-CH2NE2, R4 = H) were prepared  
 and tested for pharmacol. activity. Thus, 1-acetyl-1,2,3,4-tetrahydro-6-  
 hydroxyquinoline was treated with 1-[3-chloropropyl]-4-phenylpiperazine  
 followed by hydrolysis to give I (R = H). Some I, II and III showed  
 potent nervous system depressant, hypotensive and  $\alpha$ -adrenoceptor  
 blocking activities.  
 IT 119321-76-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and hydrolysis of)  
 RN 119321-76-5 CA  
 CN Quinoline, 1-acetyl-1,2,3,4-tetrahydro-6-[3-(4-phenyl-1-  
 piperazinyl)propoxy]- (9CI) (CA INDEX NAME)

10/648,451

=> d his

(FILE 'HOME' ENTERED AT 10:08:48 ON 05 APR 2005)

FILE 'REGISTRY' ENTERED AT 10:09:07 ON 05 APR 2005

L1 STRUCTURE UPLOADED

L2 682 S 1L SAM

FILE 'CA' ENTERED AT 10:11:25 ON 05 APR 2005

FILE 'REGISTRY' ENTERED AT 10:11:36 ON 05 APR 2005

L3 115 S L1 FULL

FILE 'CA' ENTERED AT 10:11:55 ON 05 APR 2005

L4 2 S L3

FILE 'STNGUIDE' ENTERED AT 10:12:17 ON 05 APR 2005

FILE 'REGISTRY' ENTERED AT 10:16:49 ON 05 APR 2005

L5 STRUCTURE UPLOADED

L6 0 S L5 SAM

L7 230 S L5 FULL

FILE 'CA' ENTERED AT 10:17:30 ON 05 APR 2005

L8 11 S L7

L9 9 S L8 NOT L4

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---Logging off of STN---

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Executing the logoff script...

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STN INTERNATIONAL LOGOFF AT 10:18:00 ON 05 APR 2005